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NEWS 1		Web Page for STN Seminar Schedule - N. America
NEWS 2	NOV 21	CAS patent coverage to include exemplified prophetic substances identified in English-, French-, German-, and Japanese-language basic patents from 2004-present
NEWS 3	NOV 26	MARPAT enhanced with FSORT command
NEWS 4	NOV 26	CHEMSAFE now available on STN Easy
NEWS 5	NOV 26	Two new SET commands increase convenience of STN searching
NEWS 6	DEC 01	ChemPort single article sales feature unavailable
NEWS 7	DEC 12	GBFULL now offers single source for full-text coverage of complete UK patent families
NEWS 8	DEC 17	Fifty-one pharmaceutical ingredients added to PS
NEWS 9	JAN 06	The retention policy for unread STNmail messages will change in 2009 for STN-Columbus and STN-Tokyo
NEWS 10	JAN 07	WPIDS, WPINDEX, and WPIX enhanced Japanese Patent Classification Data
NEWS 11	FEB 02	Simultaneous left and right truncation (SLART) added for CERAB, COMPUAB, ELCOM, and SOLIDSTATE
NEWS 12	FEB 02	GENBANK enhanced with SET PLURALS and SET SPELLING
NEWS 13	FEB 06	Patent sequence location (PSL) data added to USGENE
NEWS 14	FEB 10	COMPENDEX reloaded and enhanced
NEWS 15	FEB 11	WTEXTILES reloaded and enhanced
NEWS 16	FEB 19	New patent-examiner citations in 300,000 CA/CAplus patent records provide insights into related prior art
NEWS 17	FEB 19	Increase the precision of your patent queries -- use terms from the IPC Thesaurus, Version 2009.01
NEWS 18	FEB 23	Several formats for image display and print options discontinued in USPATFULL and USPAT2
NEWS 19	FEB 23	MEDLINE now offers more precise author group fields and 2009 MeSH terms
NEWS 20	FEB 23	TOXCENTER updates mirror those of MEDLINE - more precise author group fields and 2009 MeSH terms
NEWS 21	FEB 23	Three million new patent records blast AEROSPACE into STN patent clusters
NEWS 22	FEB 25	USGENE enhanced with patent family and legal status display data from INPADOCDB
NEWS 23	MAR 06	INPADOCDB and INPAFAMDB enhanced with new display formats
NEWS 24	MAR 11	EPFULL backfile enhanced with additional full-text applications and grants
NEWS 25	MAR 11	ESBIOBASE reloaded and enhanced
NEWS 26	MAR 20	CAS databases on STN enhanced with new super role

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                  for nanomaterial substances
 NEWS 27  MAR 23  CA/CAplus enhanced with more than 250,000 patent
                  equivalents from China
 NEWS 28  MAR 30  IMSPATENTS reloaded and enhanced
 NEWS 29  APR 03  CAS coverage of exemplified prophetic substances
                  enhanced

 NEWS EXPRESS JUNE 27 08 CURRENT WINDOWS VERSION IS V8.3,
              AND CURRENT DISCOVER FILE IS DATED 23 JUNE 2008.

 NEWS HOURS    STN Operating Hours Plus Help Desk Availability
 NEWS LOGIN    Welcome Banner and News Items
 NEWS IPC8     For general information regarding STN implementation of IPC 8

Enter NEWS followed by the item number or name to see news on that
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* * * * * * * * * * * * * * * STN  Columbus  * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 07:49:48 ON 06 APR 2009

=> file reg
COST IN U.S. DOLLARS                                SINCE FILE      TOTAL
                                                        ENTRY    SESSION
FULL ESTIMATED COST                                      0.22       0.22

FILE 'REGISTRY' ENTERED AT 07:49:55 ON 06 APR 2009
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STRUCTURE FILE UPDATES:    5 APR 2009  HIGHEST RN 1132636-28-2
DICTIONARY FILE UPDATES:   5 APR 2009  HIGHEST RN 1132636-28-2

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=>
Uploading C:\Program Files\STNEXP\Queries\10551737 R5 heteroaryl R7 and R8 ring.str
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```
chain nodes :
7  8  12  13  14  17  19
ring nodes :
1  2  3  4  5  6  10  11  20
chain bonds :
4-17  5-7  7-8  8-20  10-11  11-12  12-13  12-14  17-19
ring bonds :
1-2  1-6  2-3  3-4  4-5  5-6  10-20
exact/norm bonds :
4-17  5-7  7-8  10-11  10-20  12-13  12-14  17-19
exact bonds :
8-20  11-12
normalized bonds :
1-2  1-6  2-3  3-4  4-5  5-6


G1:C,O,S

G2:O,S

G3:Cb,Cy,Hy

Match level :
1:Atom  2:Atom  3:Atom  4:Atom  5:Atom  6:Atom  7:CLASS  8:CLASS  10:CLASS  11:CLASS
12:CLASS  13:CLASS  14:CLASS  17:CLASS  19:CLASS  20:CLASS



L1      STRUCTURE UPLOADED

=> d l1
L1 HAS NO ANSWERS
L1              STR
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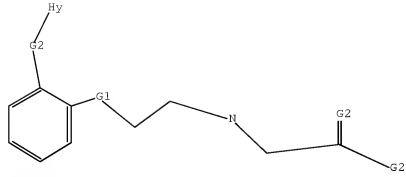

G1 C,O,S
G2 O,S
G3 Cb,Cy,Hy

Structure attributes must be viewed using STN Express query preparation.

=> file caplus
COST IN U.S. DOLLARS

	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	0.48	0.70

FILE 'CAPLUS' ENTERED AT 07:50:12 ON 06 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15
FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

Caplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

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http://www.cas.org/legal/infopolicy.html

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s L1 SSS Full
REGISTRY INITIATED
Substance data SEARCH and crossover from CAS REGISTRY in progress...

Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

FULL SEARCH INITIATED 07:50:17 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 22641 TO ITERATE

100.0% PROCESSED 22641 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.02

L2 0 SEA SSS FUL L1

L3 0 L2

=> file marpat
COST IN U.S. DOLLARS SINCE FILE ENTRY TOTAL SESSION
FULL ESTIMATED COST 0.50 187.58

FILE 'MARPAT' ENTERED AT 07:50:24 ON 06 APR 2009
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
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FILE CONTENT: 1961-PRESENT VOL 150 ISS 13 (20090403/ED)

MARPAT RECORDS ARE DERIVED FROM INPI DATA FOR 1961-1987

MOST RECENT CITATIONS FOR PATENTS FROM MAJOR ISSUING AGENCIES
(COVERAGE TO THESE DATES IS NOT COMPLETE):

US 20090048322 19 FEB 2009
DE 102007039155 19 FEB 2009
EP 2022798 11 FEB 2009
JP 2009035500 19 FEB 2009
WO 2009024087 26 FEB 2009
GB 2451715 11 FEB 2009
FR 2920023 20 FEB 2009
RU 2346937 20 FEB 2009
CA 2618420 24 JAN 2009

The new MARPAT User Guide is now available at:
http://www.cas.org/support/stngen/stndoc/marpat.html.

=> s L1 SSS Full
FULL SEARCH INITIATED 07:50:27 FILE 'MARPAT'
FULL SCREEN SEARCH COMPLETED - 80787 TO ITERATE

56.9% PROCESSED 45957 ITERATIONS 11 ANSWERS

85.0% PROCESSED 68640 ITERATIONS 27 ANSWERS

97.2% PROCESSED 78492 ITERATIONS 34 ANSWERS

99.1% PROCESSED 80028 ITERATIONS (1 INCOMPLETE) 37 ANSWERS

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 99.7% PROCESSED    80536 ITERATIONS  (     1 INCOMPLETE)       37 ANSWERS

 99.7% PROCESSED    80536 ITERATIONS  (     1 INCOMPLETE)       37 ANSWERS

 99.9% PROCESSED    80703 ITERATIONS  (     2 INCOMPLETE)       38 ANSWERS

100.0% PROCESSED    80787 ITERATIONS  (     2 INCOMPLETE)       38 ANSWERS
SEARCH TIME: 00.02.04

L4           38 SEA SSS FUL L1

=> d ibib abs histr l-
'HISTR' IS NOT A VALID FORMAT FOR FILE 'MARPAT'

The following are valid formats:

MSTR ----- All Markush structure(s) and related text information
MSTR(n) -- Markush structure(n) and related text information
IDE ------ AN and MSTR

ABS ------ AB
ALL ------ BIB, AB, IND, RE, and MSTR
APPS ----- AI, PRAI
BIB --------- AN, plus Bibliographic Data and PI table (default)
CAN ------ List of CA abstract numbers without answer numbers
CBIB ----- AN, plus Compressed Bibliographic Data
DALL ----- ALL, delimited (end of each field identified)
DMAX -------- MAX, delimited for post-processing
FAM --------- AN, PI and PRAI in table, plus Patent Family data
FBIB -------- AN, BIB, plus Patent FAM
IND ------ Indexing Data
IPC ------ International Patent Classifications
MAX --------- ALL, plus Patent FAM, RE
PATS ----- PI, SO
SAM ------ CC, SX, TI, ST, IT, and FQHIT
SCAN ----- CC, SX, TI, ST, IT, and FQHIT (random display,
           no answer numbers)
STD ------ BIB, IPC, and NCL (standard patent information)

IABS ----- ABS, indented with text labels
IALL ----- ALL, indented with text labels
IBIB ----- BIB, indented with text labels
IMAX -------- MAX, indented with text labels
ISTD ----- STD, indented with text labels
OBIB -------- AN, plus Bibliographic Data (original)
OIBIB ------- OBIB, indented with text labels

SBIB -------- BIB, no citations
SIBIB ------- IBIB, no citations


HIT ------ Fields containing hit text terms and the Markush
           structures containing the query structure
FHIT ----- Fields containing the first hit text terms and the first
           Markush structures containing the query structure
QHIT ----- Fields containing query focus hit text terms and the
           Markush structures containing the query structure
FQHIT ---- Fields containing the first query focus hit text terms and
           the first Markush structures containing the query structure
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ENTER DISPLAY FORMAT (BIB):end

=> d his

     (FILE 'HOME' ENTERED AT 07:49:48 ON 06 APR 2009)

     FILE 'REGISTRY' ENTERED AT 07:49:55 ON 06 APR 2009
L1   STRUCTURE UPLOADED

     FILE 'CAPLUS' ENTERED AT 07:50:12 ON 06 APR 2009
               S L1

     FILE 'REGISTRY' ENTERED AT 07:50:17 ON 06 APR 2009
L2   0 S L1 SSS FULL

     FILE 'CAPLUS' ENTERED AT 07:50:18 ON 06 APR 2009
L3   0 S L2 SSS FULL

     FILE 'MARPAT' ENTERED AT 07:50:24 ON 06 APR 2009
L4   38 S L1 SSS FULL

=> file caplus
COST IN U.S. DOLLARS   SINCE FILE   TOTAL
                                                       ENTRY   SESSION
FULL ESTIMATED COST   132.42   320.00

FILE 'CAPLUS' ENTERED AT 07:52:47 ON 06 APR 2009
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FILE COVERS 1907 - 6 Apr 2009 VOL 150 ISS 15
FILE LAST UPDATED: 5 Apr 2009 (20090405/ED)

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=> s L4
L5 38 L4

=> d ibib abs hitstr 1-
YOU HAVE REQUESTED DATA FROM 38 ANSWERS - CONTINUE? Y/(N):y

L5 ANSWER 1 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:87239 CAPLUS Full-text
DOCUMENT NUMBER: 150:168325
TITLE: Preparation of novel therapeutic compounds containing heterocylic carboxamide cores for use as kinase inhibitors
INVENTOR(S): Breinlinger, Eric C.; Cusack, Kevin P.; Hobson, Adrian D.; Li, Bin; Gordon, Thomas D.; Stoffel, Robert H.; Wallace, Grier A.; Gronsgaard, Pintipa; Wang, Lu
PATENT ASSIGNEE(S): Abbott Laboratories, USA
SOURCE: PCT Int. Appl., 224pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009011850	A2	20090122	WO 2008-US8645	20080715
WO 2009011850	A3	20090305		
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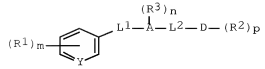
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
US 20090069288	A1	20090312	US 2008-218364	20080715
PRIORITY APPLN. INFO.:			US 2007-959631P P	20070716

OTHER SOURCE(S): MARPAT 150:168325
GI



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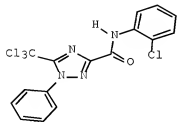


II

AB Title compds. I [Y = N or CH; A = (un)substituted heteroaryl or heterocyclyl; L1 and L2 independently = bond, C(O)NH, NHC(O), SO2NH, NHSO2, etc., provided that either L1 or L2 is a bond but L1 and L2 are not bonds at the same time; D = aryl, heteroaryl, heterocyclyl and cycloalkyl; R1 and R2 independently = halo, CF3, CN, OH, (un)substituted alkyl, etc.; R3 independently = CF3, CCl3, (un)substituted alkyl, etc.; m, n and p independently = 0-2], and their pharmaceutically acceptable salts, are prepared and disclosed as kinase inhibitors (no data). Thus, e.g., II was prepared by amidation of 1-phenyl-5-(trichloromethyl)-1H-1,2,4-triazole-3- carboxylic acid (preparation given) with 2-chloroaniline. As kinase inhibitors, I should be useful in treating certain conditions and diseases, especially inflammatory conditions and diseases as well as proliferative disorders such as cancer.

L5 ANSWER 2 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2009:53991 CAPLUS Full-text
DOCUMENT NUMBER: 150:144515
TITLE: Preparation of malonamide derivatives, especially N-[1-[(hetero)/aryl-aryl]ethyl]-N'-(4-carbamimidoyl(hetero)/arylmalonamides, as factor VIIa inhibitors for treating cardiovascular diseases, especially thrombosis and restenosis
INVENTOR(S): Steinhagen, Henning; Szillat, Hauke; Follmann, Markus; Kirsch, Reinhard; Wehner, Volkmar; Matter, Hans; Lorenz, Martin; Neuenschwander, Kent W.; Scotese, Anthony C.
PATENT ASSIGNEE(S): Sanofi-Aventis, Fr.
SOURCE: PCT Int. Appl., 129pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

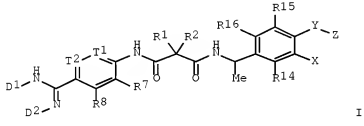
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2009007015	A1	20090115	WO 2008-EP5187	20080626
W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE,				

KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD,
ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH,
PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU,
IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK,
TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD,
TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW,
AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: EP 2007-290877 A 20070710

OTHER SOURCE(S): MARPAT 150:144515

GI



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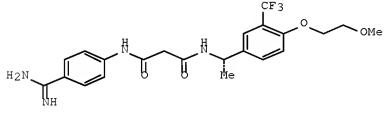

II

AB The invention is related to the preparation of title compds. I [T1, T2 = independently N, (un)substituted CH; D1, D2 = independently H, carbonylalkyl, arylalkylcarbonyl, COO-alkyl, etc.; D1 = H when D2 = OH, OCO-alkyl, arylalkylcarbonyloxy, alkylcarbonyloxyalkyloxycarbonyl; R1, R2 = independently H, OH, arylalkyl(oxy/sulfanyl/sulfonyl/amino)alkyl; R7-8, R14-16 = independently H, alkyl, OH, alkoxy, halo, NH2; X = halo, H, perfluoroalkyl, perfluoroalkoxy, etc.; Y = NR4, CO, CONR4, NR4CO, O, S(O)0-2, S(O)0-2NR4; Z = alkynyl, perfluoroalkyl, arylalkyl; or Y = Z = H and X = cyanoalkyl, perfluoroalkyl, O, S(O)0-2-perfluoroalkyl, (un)substituted heterocyclylalkyl; Ph substituted by NR3S(O)p; R3 = H, alkyl; p = 1-2], their stereoisomers and their physiol. tolerable salts as inhibitors of the blood clotting enzymes, especially factor VIIa, for the therapy and prophylaxis of cardiovascular disorders such as thromboembolic diseases or restenoses. Thus, reacting 2-methoxyethanol with 4-fluoro-3-trifluoromethylacetophenone, followed by reductive amination of the ketone in the presence of NH4CO2Me/NaBH3(CN), acylation of the N-(4-Carbamimidoylphenyl)malonamic acid with the amine intermediate and separation of the enantiomers gave II. In a chromogenic assay, II inhibited factor VIIa with Ki = 0.024 μM.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 3 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2008:1128200 CAPLUS Full-text

DOCUMENT NUMBER: 149:355929

TITLE: Nitrogen-containing heterocyclic organic compounds as

inhibitors of the hedgehog pathway and their preparation and use in the treatment of diseases

INVENTOR(S): Dai, Miao; He, Feng; Jain, Rishi Kumar; Karki, Rajesh; Kelleher, Joseph, III; Lei, John; Llamas, Luis; Mcewan, Michael A.; Miller-Moslin, Karen; Perez, Lawrence Blas; Peukert, Stefan; Yusuff, Naeem

PATENT ASSIGNEE(S): Novartis A.-G., Switz.

SOURCE: PCT Int. Appl., 150pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008110611	A1	20080918	WO 2008-EP53040	20080313

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-894991P P 20070315

OTHER SOURCE(S): MARPAT 149:355929

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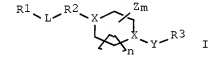

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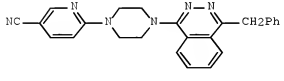


II

AB The disclosure relates to compds. relating to the diagnosis and treatment of pathologies relating to the Hedgehog pathway, including but not limited to tumor formation, cancer, neoplasia, and non-malignant hyperproliferative disorders; specifically relating to compds. of formula I. Compds. of formula I wherein R1 is (un)substituted phenyl; R2 is (un)substituted 5- to 7-membered monocyclic (non)aromatic nitrogen-heterocycle, and (un)substituted 8- to 12-membered fused (non)aromatic nitrogen-heterocycle; L is lower alkyl, CH2O, CH2CH2O, CH2S, CH2CH2S, CH2NH, CH2CH2NH, CH2OCH2, CH2SCH2, and CH2NHCH2; each

X is N and CH, and at least one of X is N; Y is bond, CH2, CO, and SO2; R3 is (un)substituted aryl, (un)substituted 5- to 7-membered monocyclic (non)aromatic nitrogen-heterocycle, and (un)substituted 8- to 12-membered fused (non)aromatic nitrogen-heterocycle; Z is H, (un)substituted lower alkyl, (un)substituted lower alkoxy, oxo, CO2H and derivs, and CN; m and n are independently 0, 1, 2, and 3; and their pharmaceutically acceptable salts thereof, are claimed. Example compound II was prepared by amination of 1-benzyl-4-chlorophthalazine with 6-(piperazin-1-yl)nicotinonitrile. All the invention compds. were evaluated for their hedgehog pathway inhibitory activity (data given).

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 4 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:1073477 CAPLUS Full-text
DOCUMENT NUMBER: 149:324040
TITLE: Theramutein modulators
INVENTOR(S): Housey, Gerard M.
PATENT ASSIGNEE(S): USA
SOURCE: PCT Int. Appl., 112pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008106202	A1	20080904	WO 2008-US2656	20080227

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-904115P P 20070227
OTHER SOURCE(S): MARPAT 149:324040

AB This invention relates to agents that are inhibitors or activators of variant forms of endogenous proteins and novel methods of identifying such variants. Of particular interest are inhibitors and activators of endogenous protein variants, encoded by genes which have mutated, which variants often arise or are at least first identified as having arisen following exposure to a chemical agent which is known to be an inhibitor or activator of the corresponding unmutated endogenous protein.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 5 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:973857 CAPLUS Full-text
DOCUMENT NUMBER: 149:268050
TITLE: Preparation of 2-[4-(imidazolyl)phenyl]vinylheterocycles which selectively attenuate production of β-amyloid Aβ(1-42)

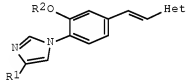
INVENTOR(S): Fischer, Christian; Munoz, Benito; Rivkin, Alexey A.
PATENT ASSIGNEE(S): Merck & Co., Inc., USA
SOURCE: PCT Int. Appl., 45pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2008097538	A1	20080814	WO 2008-US1503	20080205

W: AE, AG, AL, AM, AO, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW

RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, NO, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2007-900200P P 20070208
OTHER SOURCE(S): MARPAT 149:268050
GI



I

AB Title compds. [I; R1 = H, alkyl, cycloalkyl, alkenyl; R2 = alkyl, cycloalkyl, alkenyl; Het = (substituted) (aryl-fused) 5-6 membered unsatd. heterocyclyl], were prepared Thus, (E)-3-[3-methoxy-4-(4-methylimidazol-1- yl)phenyl]acrylic acid and 4-tert-butylbenzene-1,2-diamine were heated in ethylene glycol for 3 h at 185° and overnight at 170° to give 6-tert-butyl-2-[(E)-2-[3-methoxy-4-(4-methylimidazol-1- yl)phenyl]vinyl]-1H-benzimidazole trifluoroacetate. I inhibited γ-secretase with IC50 values of <10 μM.

REFERENCE COUNT: 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

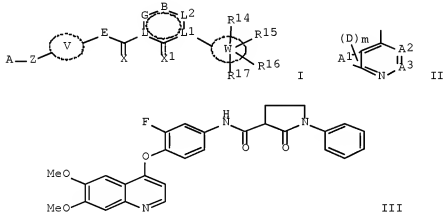
L5 ANSWER 6 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2008:12248 CAPLUS Full-text
DOCUMENT NUMBER: 148:121726
TITLE: Preparation of quinoline and quinazoline derivatives as inhibitors of VEGF receptor and HGF receptor signaling
INVENTOR(S): Raeppel, Stephane; Claridge, Stephen William; Saavedra, Oscar Mario; Vaisburg, Arkadii; Deziel, Robert; Zhan, Lijie; Mannion, Michael; Gaudette,

Frederic; Zhou, Nancy Z.; Isakovic, Ljubomir
PATENT ASSIGNEE(S): Can.
SOURCE: U.S. Pat. Appl. Publ., 122pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20080004273	A1	20080103	US 2007-807907	20070530
WO 2008035209	A2	20080327	WO 2007-IB3264	20070530

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DO, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

PRIORITY APPLN. INFO.: US 2006-803412P P 20060530
OTHER SOURCE(S): MARPAT 148:121726
GI



I II III

AB The invention relates to compds. of formula I that inhibit protein tyrosine kinase activity, in particular that inhibit the protein tyrosine kinase activity of growth factor receptors, resulting in the inhibition of receptor signaling, for example, the inhibition of VEGF receptor signaling and HGF receptor signaling. Compds. of formula I [A = II (A1 = fused 6-membered aryl or heteroaryl; A2 and A3 independently = N or CR107, wherein R107 = H, halo, alkyl, alkenyl, etc.; D = H, halo, CN, NO2, etc.; m = 0-4); V = (un)substituted 5- to 7-membered cycloalkyl, aryl, heterocylic or heteroaryl

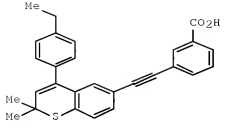
ring system; Z = O, S, S(O), SO2, CH2, etc.; E = O, NH, N-alkyl, CH2NH, NHCH2, etc.; X = O, S, NH, N-alkyl, N-OH, etc.; solid/dash line = single or double bond; X1 = O, S, CH2, NH, etc., when solid/dash line = double bond, or X1 = H, halo, CN, NH2, trihalomethyl, etc., when solid/dash = single bond; L and L1 independently = CH, N, C(halo), C(alkyl), etc.; or L1 = O and W = absent; L2 and G = CH2, NH, O, S, C(O), C(S), etc.; B = (L4)n, wherein L4 = absent, CH2, NH, O, S, C(O), C(S), etc.; n = 0-5; W = (un)substituted 5- to 10-membered cycloalkyl, aryl, heterocylic or heteroaryl ring system; R14, R15, R16 and R17 independently = H, halo, trihalomethyl, CN, NO2, NH2, etc.], and their N-oxides, hydrates, solvates, pharmaceutically acceptable salts, prodrugs and complexes thereof, are prepared and disclosed. Thus, e.g., III was prepared in a multi-step synthesis starting from 3,4-dimethoxybenzenamine with 5-(methoxymethylene)-2,2-dimethyl-1,3-dioxane-4,6-dione. The exemplar compds. showed inhibition of recombinant human c-Met/HGF receptor and VEGF receptor enzymic activity in in vitro receptor tyrosine kinase assays. The invention also provides compns. and methods for treating cell proliferative diseases and conditions.

L5 ANSWER 7 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1361792 CAPLUS Full-text
DOCUMENT NUMBER: 148:1138
TITLE: Methods using retinoic acid receptor (RAR) antagonists or inverse agonists for treating chemotherapy and radiation therapy side effects
INVENTOR(S): Chandraratna, Roshantha A.; Yuan, Yang-Dar
PATENT ASSIGNEE(S): Vitae Pharmaceuticals, Inc., USA
SOURCE: PCT Int. Appl., 74pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007136653	A2	20071129	WO 2007-US11730	20070516
WO 2007136653	A3	20080703		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, ME, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
CA 2651487	A1	20071129	CA 2007-2651487	20070516
EP 2026778	A2	20090225	EP 2007-794936	20070516
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.:		US 2006-800773P	P 20060516
		WO 2007-US11730	W 20070516

OTHER SOURCE(S): MARPAT 148:1138
GI



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AB The invention discloses a method for treating chemotherapy or radiation therapy side effects in a mammal undergoing chemotherapy and/or radiation therapy, the method comprising administering a therapeutically effective amount of a RAR antagonist or inverse agonist which binds to receptors of the RARα, RARβ and RARγ subtypes. Such side effects include chemoradiotherapy-induced alopecia, chemoradiotherapy-induced thrombocytopenia, chemoradiotherapy-induced leucopenia and chemoradiotherapy-induced neutropenia. Preparation of VTP 194310 (I) is described.

L5 ANSWER 8 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1148198 CAPLUS Full-text
DOCUMENT NUMBER: 147:420115
TITLE: Therapeutic Gastrodia extracts
INVENTOR(S): Chern, Yijuang; Lin, Yun-Lian; Huang, Nai-Kuei
PATENT ASSIGNEE(S): Academia Sinica, Taiwan
SOURCE: U.S. Pat. Appl. Publ., 30pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070237840	A1	20071011	US 2006-400064	20060407
US 7351434	B2	20080401		
CN 101143192	A	20080319	CN 2007-10091094	20070409
US 20080176816	A1	20080724	US 2007-999637	20071206
PRIORITY APPLN. INFO.:			US 2006-400064 A	20060407
OTHER SOURCE(S):		MARPAT 147:420115		

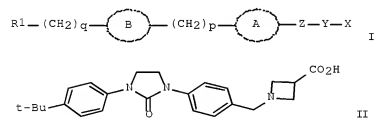
AB This document describes compds., exts., and pharmaceutical compns. relating to Gastrodia spp., and methods for the treatment subjects having metabolic disorders or medical conditions such as Huntington's disease, a trinucleotide repeat disease or abnormal blood glucose levels.

L5 ANSWER 9 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1090756 CAPLUS Full-text
DOCUMENT NUMBER: 147:496815
TITLE: Preparation of S1P receptor modulating compounds in particular aryl-substituted 2-oxoimidazolidine derivatives as modulator of S1P receptor
INVENTOR(S): Saha, Ashis; Yu, Xiang Y.; Lobera, Mercedes; Lin, Jian; Cheruku, Srinivasa R.; Becker, Oren M.; Marantz,

Yael; Schutz, Nili
PATENT ASSIGNEE(S): Epix Delaware, Inc., USA
SOURCE: PCT Int. Appl., 88pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007109330	A2	20070927	WO 2007-US7037	20070321
WO 2007109330	A3	20071122		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BH, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007227274	A1	20070927	AU 2007-227274	20070321
CA 2646469	A1	20070927	CA 2007-2646469	20070321
US 20080015177	A1	20080117	US 2007-726356	20070321
EP 2010524	A2	20090107	EP 2007-753647	20070321
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				

PRIORITY APPLN. INFO.: US 2006-784548P P 20060321
WO 2007-US7037 W 20070321
OTHER SOURCE(S): MARPAT 147:406815
GI



I

II

AB The invention relates to compds. that have activity as sphingosine-1-phosphate (S1P) receptor modulating agents and the use of such compds. to treat diseases associated with inappropriate S1P receptor activity. Compds. of formula I [A = (un)substituted aryl or heteroaryl; B = N-containing 5- to 6-membered heterocyclyl; X = CO2H, POH2, SO3H, SO2NH2, CONHSO3H and their derivs. or 1H-tetrazol-5-yl; Y = bond or (un)substituted (a)cyclic amine; Z = O, NH and derivs., S, SO, SO2, SO2NH and derivs., CO, CS, direct bond, etc.; p and q independently = 0-4], and their pharmaceutically acceptable salts, are

prepared and disclosed as modulator of S1P receptor. Thus, e.g., II was prepared by the reaction of Me 4-aminobenzoate with 2-chloroethylisocyante followed by cyclization to generate intermediate Me 4-(2-oxoimidazolidin-1-yl)benzoate, which underwent condensation with 1-tert-butyl-4-iodobenzene, hydrolysis, reduction and reductive amination with azetidine-3-carboxylic acid to give II. No detailed bioassays and biodata were given.

L5 ANSWER 10 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:1064140 CAPLUS Full-text
DOCUMENT NUMBER: 147:380334
TITLE: Substrates and internal standards for multiplex mass spectrometric detection of lysosomal enzymes, and use for diagnosis of lysosomal storage diseases
INVENTOR(S): Cerda, Blas
PATENT ASSIGNEE(S): Perkinelmer Las, Inc., USA
SOURCE: PCT Int. Appl., 35pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007106816	A2	20070920	WO 2007-US63894	20070313
WO 2007106816	A3	20080821		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA				
AU 2007226582	A1	20070920	AU 2007-226582	20070313
CA 2646505	A1	20070920	CA 2007-2646505	20070313
EP 1999270	A2	20081210	EP 2007-758446	20070313
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, MT, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, MK, RS				
US 20090068634	A1	20090312	US 2008-210262	20080915
PRIORITY APPLN. INFO.:			US 2006-781855P	P 20060313
			WO 2007-US63894	W 20070313

OTHER SOURCE(S): MARPAT 147:380334

AB The present invention relates to multiplex assays and reagents for the quantification of the activity of lysosomal enzymes using mass spectrometry. An inventive substrate is provided which includes a substrate compound of formula A - B1 - B2 - B3: wherein A is a sugar moiety; B1 is a linker moiety allowing the conjugation of moiety A and the remaining structure of the substrate; B2 contains a permanently charged element such as a quaternary ammonium group so as to increase proton affinities and ionization efficiencies for mass spectrometry anal.; and B3 of various carbon length conferring specificities to targeted enzymes. Also provided is a process to detect lysosomal storage diseases by contacting a sample with the inventive substrate

along with an internal standard which is isotope-labeled analog of the product cleaved by a targeted enzyme upon the substrate.

L5 ANSWER 11 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:993243 CAPLUS Full-text
DOCUMENT NUMBER: 147:322859
TITLE: Process for preparation of radiolabeled 3-cyanoquinoline derivatives
INVENTOR(S): Olszewski, John David; May, Michael K.; Berger, Dan Maarten
PATENT ASSIGNEE(S): Wyeth, John, and Brother Ltd., USA
SOURCE: U.S. Pat. Appl. Publ., 29pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20070208164	A1	20070906	US 2007-704426	20070209
PRIORITY APPLN. INFO.:			US 2006-777391P	P 20060227

OTHER SOURCE(S): CASREACT 147:322859; MARPAT 147:322859
AB The present invention pertains to a process for the preparation of radiolabeled 3-[14C]cyanoquinoline derivs. and intermediates thereof. For example, 4-[[3-chloro-4-[(1-methyl-1H-imidazol-2-yl)thio]phenyl]amino]-6-methoxy-7- [4-(pyrrolidin-1-yl)piperidin-1-yl]quinoline-3-[14C]carbonitrile was prepared from a multi-step synthesis. 14C was introduced by reacting an intermediate, 2-[[(dimethylamino)methylene]amino]-5-methoxy-4-(phenylmethoxy)-benzoic acid Me ester, with [14C]cyanoacetic acid. 3-Cyanoquinoline derivs. are known to be potent chemo-agents, and such radiolabeled mols. are useful because they allow for tracing the mol. in physiol. processes occurring in living organisms.

L5 ANSWER 12 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:933594 CAPLUS Full-text
DOCUMENT NUMBER: 147:301170
TITLE: Preparation of benzazole derivatives as Aurora kinase inhibitors
INVENTOR(S): Mjalli, Adnan M. M.; Grella, Brian S.; Subramanian, Govindan; Arimilli, Murty N.; Gopalaswamy, Ramesh; Andrews, Robert C.; Davis, Stephen; Guo, Xiaochuan; Zhu, Jeff
PATENT ASSIGNEE(S): Transtech Pharma, Inc., USA
SOURCE: PCT Int. Appl., 141pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007095124	A2	20070823	WO 2007-US3579	20070209
WO 2007095124	A3	20071101		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN,

KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK,
MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT,
TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE,
IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ,
CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY,
KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA

AU	2007215247	A1	20070823	AU 2007-215247	20070209
CA	2641744	A1	20070823	CA 2007-2641744	20070209
US	20070219235	A1	20070920	US 2007-704431	20070209
EP	1987028	A2	20081105	EP 2007-750418	20070209
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IN	2008DN06441	A	20081024	IN 2008-DN6441	20080723
MX	2008009811	A	20080814	MX 2008-9811	20080731
CN	101379060	A	20090304	CN 2007-80004785	20080807

PRIORITY APPLN. INFO.: US 2006-772497P P 20060210
US 2006-791187P P 20060411
WO 2007-US3579 W 20070209

OTHER SOURCE(S): MARPAT 147:301170

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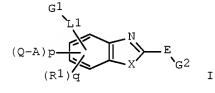

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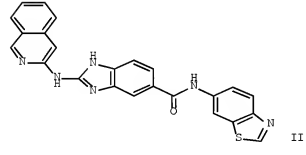

II

AB Title compds. I [X = NH, O or S; E = CH2, NH, O or S; G1 and G2 independently = (un)substituted aryl, heteroaryl, fused arylcycloalkyl, etc.; L1 = bond, CH2, O, OCH2, etc.; A = bond, O, S, SO2, etc.; Q = (un)substituted heteroaryl, heterocyclyl, fused cycloalkylheteroaryl, etc.; R1 = cycloalkyl, CN, NO2, halo, etc.; p = 0-1; q = 0-2], and their pharmaceutically acceptable salts, are prepared and disclosed as Aurora kinase inhibitors. Thus, e.g., II was prepared via reaction of 3-isothiocyanatoisoquinoline (preparation given) with Me 3,4-diaminobenzoate followed by cyclization to generate intermediate 2-[(isoquinolin-3-yl)amino]-1H-benzimidazole-5-carboxylic acid Me ester which undergoes hydrolysis and amidation with (benzothiazol-6-yl)amine. The

invention compds. exhibited an Ic50 value of ≤ 1.0 μM for at least one of Aurora kinase A, B, C. As Aurora kinase inhibitors, I may be particularly useful for the treatment of cancer.

L5 ANSWER 13 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2007:512074 CAPLUS Full-text
DOCUMENT NUMBER: 146:501086
TITLE: Preparation of benzyl piperazine derivatives as prostaglandin D2 ligand
INVENTOR(S): Luker, Timothy
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 61pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2007052023	A2	20070510	WO 2006-GB4075	20061101
WO 2007052023	A3	20071108		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GT, HN, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LA, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MY, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RS, RU, SC, SD, SE, SG, SK, SL, SM, SV, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, ZA, ZM, ZW			
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AP, EA, EP, OA			
EP 1948630	A2	20080730	EP 2006-808382	20061101
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR				
IN 2008DN03253	A	20090320	IN 2008-DN3253	20080421
US 20080255150	A1	20081016	US 2008-92431	20080502
CN 101356164	A	20090128	CN 2006-80050571	20080707
PRIORITY APPLN. INFO.:			GB 2005-22619 A	20051105
			GB 2006-7353 A	20060412
			WO 2006-GB4075 W	20061101

OTHER SOURCE(S): MARPAT 146:501086
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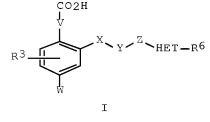

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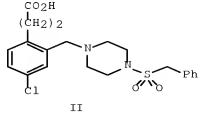

II

AB Title compds. represented by the formula I [wherein V = CR1R2, CR2R2-CR1R2, SOnCR1R2, etc.; n = 0-2; R1, R2 = independently H, halo, alkenyl, etc.; W = H, halo, CN, etc.; R3 = independently H, halo, amino, etc.; X = a bond or (halo)alkyl; Y = -N(R4)-P-Q-N(R5)-; R4, R5 = independently H, (un)substituted alkyl, sulfonylalkyl, etc.; P, Q = independently (un)substituted alkyl; Z = a bond, CO, SO, etc.; HET = (hetero)aryl; R6 = independently H, halo, NO2, etc.; and pharmaceutically acceptable salts thereof] were prepared as prostaglandin D2 ligand. For example, II•Na was provided in a multi-step synthesis starting from 5-chloro-2-hydroxybenzaldehyde. II showed ligand binding activity of prostaglandin D2 with IC50 values of less than < 10 μM. Thus, I are useful for the treatment of prostaglandin D2 mediated diseases, such as respiratory disorders.

L5 ANSWER 14 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:1309593 CAPLUS Full-text
DOCUMENT NUMBER: 146:62718
TITLE: Preparation of heteroaryl 11-beta-hydroxysteroid dehydrogenase type I inhibitors
INVENTOR(S): Li, James J.; Hamann, Lawrence G.; Wang, Haixia
PATENT ASSIGNEE(S): USA
SOURCE: U.S. Pat. Appl. Publ., 44pp.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20060281750	A1	20061214	US 2006-448946	20060607
US 20060287357	A1	20061221	US 2006-448947	20060607
AU 2006257924	A1	20061221	AU 2006-257924	20060608
AU 2006258077	A1	20061221	AU 2006-258077	20060608
CA 2611529	A1	20061221	CA 2006-2611529	20060608
WO 2006135667	A1	20061221	WO 2006-US22260	20060608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

WO 2006135795	A1	20061221	WO 2006-US22576	20060608

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM

EP 1888582		A1	20080220	EP 2006-784721	20060608
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EP 1912986		A1	20080423	EP 2006-772525	20060608
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JP 2008543764		T	20081204	JP 2008-515911	20060608
JP 2008543776		T	20081204	JP 2008-515986	20060608
IN 2007DN09041		A	20080104	IN 2007-DN9041	20071123
IN 2007DN09045		A	20080104	IN 2007-DN9045	20071123
NO 2007006054		A	20080304	NO 2007-6054	20071126
NO 2007006055		A	20080305	NO 2007-6055	20071126
MX 2007015285		A	20080222	MX 2007-15285	20071204
MX 2007015283		A	20080225	MX 2007-15283	20071204
CN 101193889		A	20080604	CN 2006-80020016	20071206
KR 2008018942		A	20080228	KR 2008-700470	20080108
KR 2008019276		A	20080303	KR 2008-700469	20080108
CN 101238123		A	20080806	CN 2006-80028901	20080204

PRIORITY APPLN. INFO.:	US 2005-688993P	P	20050609
	US 2006-448946	A	20060607
	US 2006-448947	A	20060607
	WO 2006-US22260	W	20060608
	WO 2006-US22576	W	20060608

OTHER SOURCE(S): CASREACT 146:62718; MARPAT 146:62718

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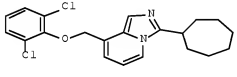

II

AB The title compds. W-L-Z [I; W = (un)substituted (hetero)aryl, cycloalkyl, heterocyclyl; L = a bond, O, S, etc.; Z = substituted imidazopyridinyl, triazolopyridinyl, benzotriazolyl, etc.], useful in treating, preventing, or slowing the progression of diseases requiring 11-β-hydroxysteroid dehydrogenase type I inhibitor therapy, were prepared and formulated. E.g., a multi-step synthesis of II, starting from 3-methylpicolinonitrile, was given. The in vitro inhibition of recombinant human 11β-HSD1 was determined (no specific data given). Pharmaceutical compns. comprising the compound I alone or in combination with other therapeutic agents were disclosed.

L5 ANSWER 15 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER:	2006:1229166 CAPLUS Full-text
DOCUMENT NUMBER:	146:7815
TITLE:	Preparation of thioepoxides as inhibitors of matrix metalloproteinases
INVENTOR(S):	Lee, Mijoon; Ikejiri, Masahiro; Chang, Mayland;

	Fridman, Rafael; Mobashery, Shahriar
PATENT ASSIGNEE(S):	USA
SOURCE:	PCT Int. Appl., 175pp.
	CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006125208	A1	20061123	WO 2006-US19656	20060519
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
US 20090005420	A1	20090101	US 2008-914933	20080731
PRIORITY APPLN. INFO.:			US 2005-682385P	P 20050519
			US 2006-743467P	P 20060313
			WO 2006-US19656	W 20060519

OTHER SOURCE(S): CASREACT 146:7815; MARPAT 146:7815

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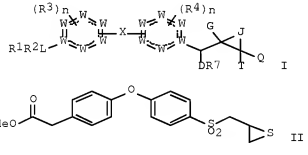

I

II

AB Title compds. e.g. [I; R1 = alkyl, haloalkyl, alkoxy, aralkyl, heteroarylalkyl, aralkoxy, heteroaralkoxy, aryl, heteroaryl, OH, SR5, N(R5)2, null; R2 = CH2, CO, SO2, OH; L = CH2, NR5, OH; W = independently C, N, O, S, null, and form 5-6 membered rings; dotted lines = optional double bonds; R3, R4 = OH, alkyl, alkoxy, alkanoyl, alkanoyloxy, aryl, heteroaryl, CO2H, cyano, NO2, halo, CF3, OCF3, SR5, N(R5)2, CO2R5; n = 0-4; R5 = H, alkyl, alkanoyl, aroyl, aryl, aralkyl, heteroaryl, heteroarylalkyl, protecting group; X = O, S, SO, SO2, CH2O, CH2S, NR5, CO, bond, etc.; D = S, SO, SO2, P(O)OH, C:NOH, CO, etc.; E = bond, alkyl, cycloalkyl, alkenyl, alkynyl, heterocyclyl; J = S, O, NR5; G, T, Q = H, alkyl, cyano; any alkyl, amino, aryl, heteroaryl, cycloalkyl is optionally substituted; with provisos], were prepared Thus, title compound

(II) (multistep preparation given) inhibited matrix metalloproteinase-2 with Ki = 50 nM.
REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 16 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:916464 CAPLUS Full-text
DOCUMENT NUMBER: 145:316103
TITLE: Cellulose acylate film, polarizing plate and liquid crystal display device
INVENTOR(S): Sugiyama, Susumu; Uchida, Osamu; Hashimoto, Yukinori; Sasata, Katsumi
PATENT ASSIGNEE(S): Fuji Photo Film Co., Ltd., Japan
SOURCE: PCT Int. Appl., 146pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006093346	A1	20060908	WO 2006-JP304664	20060303
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
JP 2006241293	A	20060914	JP 2005-58422	20050303
US 20090051856	A1	20090226	US 2007-817486	20070830
CN 101133108	A	20080227	CN 2006-80006896	20070903

PRIORITY APPLN. INFO.: JP 2005-58422 A 20050303
WO 2006-JP304664 W 20060303
OTHER SOURCE(S): MARPAT 145:316103
AB A cellulose acylate film comprises a retardation developing agent consisting of a rod-shaped compound, where in-plane retardation, Re, is 50-100 nm, retardation (thickness direction) Rth is 130-250 nm, and thickness 40-90 μm. A liquid crystal display device comprises the above film which reduced the corner irregularity.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 17 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2006:510673 CAPLUS Full-text
DOCUMENT NUMBER: 145:28015
TITLE: Preparation of phenoxyacetic acids for treatment of respiratory diseases
INVENTOR(S): Bonnert, Roger Victor; Alcaraz, Lilian; Mohammed, Rukhsana Tasneem; Cook, Anthony Ronald; Thom, Stephen; Luker, Timothy Jon
PATENT ASSIGNEE(S): Astrazeneca AB, Swed.; Astrazeneca UK Limited
SOURCE: PCT Int. Appl., 133 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006056752	A1	20060601	WO 2005-GB4464	20051122
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
EP 1817282	A1	20070815	EP 2005-807437	20051122
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CN 101107226	A	20080116	CN 2005-80047078	20051122
JP 2008520637	T	20080619	JP 2007-542103	20051122
IN 2007DN03358	A	20070831	IN 2007-DN3358	20070504

PRIORITY APPLN. INFO.: GB 2004-25673 A 20041123
GB 2005-8923 A 20050430
WO 2005-GB4464 W 20051122

OTHER SOURCE(S): CASREACT 145:28015; MARPAT 145:28015
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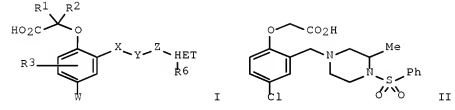

AB The title substituted phenoxyacetic acids I [wherein W = halo, CN, NO2, (un)substituted OH, alkyl, etc.; X = a bond or (un)substituted alkylene; Y = -N(R4)-P-Q-N(R5)-; Z = a bond, CO, SO, SO2, etc.; P and Q = independently (un)substituted alkylene; HET = (hetero)aryl; R1 and R2 = independently H, halo, (un)substituted alkenyl, alkynyl, or (cyclo)alkyl; or R1 and R2 form an (un)substituted ring; R3 = one or more independently H, halo, CN, NO2, (un)substituted OH, NH2, CONH2, etc.; R4 and R5 = independently H, SO2R7, C(=O)R7, CO2R7, or (un)substituted alkyl; or R4 and R5 are joined together or one of R4 and R5 is joined onto P or Q to form a heterocyclic ring; R6 = one or more independently H, halo, CN, NO2, etc.; R7 = (un)substituted alkyl or (hetero)aryl] or pharmaceutically acceptable salts thereof were prepared as modulators of CRTh2 receptor for the treatment of respiratory disorders, such

as asthma and rhinitis (no data). For example, (4-chloro-2-((3-methyl-1-piperazinyl)methyl)phenoxy)acetic acid tert-Bu ester (preparation given) was reacted with benzenesulfonyl chloride to give II. II showed pharmacol. activity with pIC50 of 8.3 against CRTh2.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 18 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2005:1026833 CAPLUS Full-text

DOCUMENT NUMBER: 143:326090

TITLE: Preparation of arylmethoxyphenyl-alkylcarboxylic acids and related derivatives for use in treating metabolic disorders

INVENTOR(S): Akerman, Michelle; Houze, Jonathan; Lin, Daniel C. H.; Liu, Jiwen; Luo, Jian; Medina, Julio C.; Qiu, Wei; Reagan, Jeffrey D.; Sharma, Rajiv; Shuttleworth, Stephen J.; Sun, Ying; Zhang, Jian; Zhu, Liusheng

PATENT ASSIGNEE(S): Amgen Inc., USA; et al.

SOURCE: PCT Int. Appl., 163 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005086661	A2	20050922	WO 2005-US5815	20050224
WO 2005086661	A3	20060504		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
AU 2005220728	A2	20050922	AU 2005-220728	20050224
AU 2005220728	A1	20050922		
CA 2558585	A1	20050922	CA 2005-2558585	20050224
EP 1737809	A2	20070103	EP 2005-723623	20050224
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, AL, BA, HR, LV, MK, YU				
CN 1946666	A	20070411	CN 2005-80012709	20050224
BR 2005008098	A	20070717	BR 2005-8098	20050224
JP 2007525516	T	20070906	JP 2007-500959	20050224
US 20060004012	A1	20060105	US 2005-67377	20050225
MX 2006009793	A	20061030	MX 2006-9793	20060828
US 20070142384	A1	20070621	US 2006-591214	20060828
KR 2007004769	A	20070109	KR 2006-719713	20060922
IN 2006DN05525	A	20070817	IN 2006-DN5525	20060922
NO 2006004362	A	20061122	NO 2006-4362	20060926

PRIORITY APPLN. INFO.:

US 2004-548741P	P	20040227
US 2004-601579P	P	20040812
WO 2005-US5815	W	20050224

OTHER SOURCE(S): CASREACT 143:326090; MARPAT 143:326090

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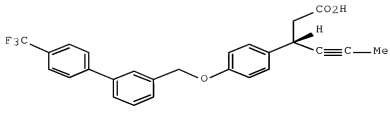

II

AB Title compds. Q-L1-P-L2-M-X-L3-A [Q = H, (hetero)aryl, alkyl, etc.; L1 = bond, alkylene, heteroalkylene, O, etc.; P = (hetero)aromatic, cycloalkylene, etc.; L2 = bond, alkylene, heteroalkylene, etc.; M = (hetero)aromatic, cycloalkylene, arylalkylene, etc.; X = divalent alkyl, (un)substituted-N; O, SO0-2; L3 = bond, alkylene, heteroalkylene, etc.; A = COOH, tetrazolyl, SO3H, PO3H2, etc.; I] are prepared For instance, (S)-3-[4-((4'-trifluoromethyl-1,1'-biphenyl-3-yl)methoxy)phenyl]hexan-4- ynoic acid (II) is prepared in 5 steps from (S)-3-(4-hydroxyphenyl)hexan-4-ynoic acid Me ester (preparation given), 4-(trifluoromethyl)phenylboronic acid and 3-bromobenzoic acid. II has an EC50 < 0.1 μM for human G protein-coupled receptor GPR40. I are useful for the treatment of type II diabetes.

L5 ANSWER 19 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2005:182607 CAPLUS Full-text
DOCUMENT NUMBER: 142:279949
TITLE: Preparation of aryloxyalkoxyphenylalkanoic acids and analogs, as PPAR modulators, especially PPAR agonists
INVENTOR(S): Gonzalez Valcarcel, Isabel Cristina; Mantlo, Nathan Bryan; Shi, Qing; Wang, Minmin; Winneroski, Leonard Larry, Jr.; Xu, Yanping; York, Jeremy Schulenburg
PATENT ASSIGNEE(S): Eli Lilly and Company, USA
SOURCE: PCT Int. Appl., 603 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005019151	A1	20050303	WO 2004-US24381	20040817

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE,

SN, TD, TG

CA 2536089	A1	20050303	CA 2004-2536089	20040817
EP 1660428	A1	20060531	EP 2004-779442	20040817
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK				
JP 2007502815	T	20070215	JP 2006-523861	20040817
US 20060257987	A1	20061116	US 2006-566291	20060125
PRIORITY APPLN. INFO.:			US 2003-496549P	P 20030820
			WO 2004-US24381	W 20040817

OTHER SOURCE(S): CASREACT 142:279949; MARPAT 142:279949

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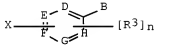

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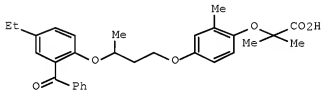


II

AB Title compds. I [wherein B = -A1-CR4R5-Q; X = -A2-(CHR2)-Y-(CHR1)-A3-Z; A1 = a bond, CH2, O, S, and wherein Aland R4 or A1 and R5 form a 3- to 6-membered carbocyclyl when A1 = C; A2, A3 = independently CH2, O, S; D, E, F, G, H = independently CH, or substituted C bearing A2 and R3; or at least one of D, E, F, G, H is N and each others being CH or substituted C bearing A2 and R3; Q = CO2H and derivs., carboxamido, sulfonamido, etc.; Y = a bond, cyclo/alkyl; Z = aryl, 5- to 10-membered heteroaryl, biaryl, (un)substituted biheteroaryl; n = 1-4; R1, R2 = independently H, halo/cyclo/alkyl; or R1 and R2 form a 4- to 8-membered nonarom. carbocyclic ring; and wherein at least one of R1 and R2 is cyclo/alkyl; R3 = H, NO2, CN, OH, halo, cyclo/halo/alkyl, haloalkyloxy, aryloxy, alkoxy; R4, R5 = independently H, alkyl; and pharmaceutically acceptable salts, solvates, hydrates or stereoisomers thereof] were prepared as PPAR modulators, especially PPAR agonists. A multistep synthesis is given for acid II. I displayed IC50 and EC50 in the range of about 1 nM to about 5 μM for binding to PPAR gamma, and/or delta receptors. I are useful in treating or preventing disorders mediated by a peroxisome proliferator activated receptor (PPAR) such as syndrome X, type II diabetes, hyperglycemia, hyperlipidemia, obesity, coagulopathy, hypertension, arteriosclerosis, and other disorders related to syndrome X and cardiovascular diseases.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 20 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2004:903758 CAPLUS Full-text

DOCUMENT NUMBER: 141:379804

TITLE: Indole derivatives and their use as KDR protein kinase inhibitors

INVENTOR(S): Ugolini, Antonio; Bouchard, Herve

PATENT ASSIGNEE(S): Aventis Pharma SA, Fr.
SOURCE: Fr. Demande, 84 pp.
CODEN: FRXXBL
DOCUMENT TYPE: Patent
LANGUAGE: French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
FR 2854159	A1	20041029	FR 2003-5088	20030425
FR 2854159	B1	20080111		
WO 2004096792	A2	20041111	WO 2004-FR979	20040422
WO 2004096792	A3	20050915		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW

RW: BW, GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG

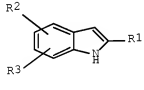
EP 1633738	A2	20060315	EP 2004-742556	20040422
JP 2006524668	T	20061102	JP 2006-505807	20040422
US 20040242559	A1	20041202	US 2004-830826	20040423

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, PL, SK, HR

PRIORITY APPLN. INFO.:

FR 2003-5088	A	20030425
US 2003-485785P	P	20030708
WO 2004-FR979	W	20040422

OTHER SOURCE(S): MARPAT 141:379804
GI



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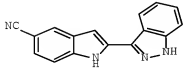


II

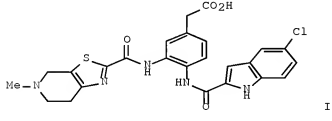
AB The invention concerns novel benzimidazole derivs. I [wherein: R1 = (un)substituted pyrazolyl, indazolyl; R2, R3 = independently H, halo, OH, NO2, CN, alkoxy, CO2H and derivs., NH2 and derivs., CONH2 and derivs., S(O)nNH2 and derivs., etc.; n = 0-2], including all isomeric forms and salts. I are useful as medicines, more specifically as protein kinase inhibitors, and in particular as KDR inhibitors (no data). Claimed uses include treatment of a variety of disorders, including those related to uncontrolled angiogenesis, and particularly cancers. For instance, II was prepared in 3 steps via Pd-coupling of N-Boc-3-iodoindazole with (N-Boc-5-cyanoindol-2-yl)boronic acid in DMF.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 21 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:584667 CAPLUS Full-text
DOCUMENT NUMBER: 141:140425
TITLE: Preparation of 1,2-phenylenediamine amides as activated blood coagulation factor X inhibitors
INVENTOR(S): Takemura, Makoto; Ota, Toshiharu; Uoto, Koichi; Kawakami, Katsuhiro; Yoshino, Toshiharu; Yokomizo, Yoshihiro; Yoshikawa, Kenji
PATENT ASSIGNEE(S): Daiichi Seiyaku Co., Ltd., Japan
SOURCE: Jpn. Kokai Tokkyo Koho, 308 pp.
CODEN: JKXXAF
DOCUMENT TYPE: Patent
LANGUAGE: Japanese
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2004203791	A	20040722	JP 2002-375655	20021225
PRIORITY APPLN. INFO.:			JP 2002-375655	20021225

OTHER SOURCE(S): MARPAT 141:140425
GI



I

AB The title thiazolopyridinecarboxylic acid 1,2-phenylenediamine amides with general formula of Q1-Q2-A0-Q3-A00-Q4 [wherein Q1 = (un)substituted cyclohydrocarbyl, heterocyclyl, etc.; Q2 = a single bond, alkylene, alkenylene, etc.; Q3 = (un)substituted phenylene or any other (hetero)arylene; Q4 = (un)substituted aryl, arylalkenyl, etc.; A0 = (un)substituted CONH or CSNH; A00 = OCH2, (un)substituted CONH, SO2NH, etc.] or salts, solvates, or N-oxides thereof are prepared as activated blood coagulation factor X

inhibitors. For example, the compound I was prepared in a multi-step synthesis. I inhibited human FXa with IC50 of 1.9 nM. The compds. are useful for the treatment of blood coagulation, thrombosis, embolism, etc. (no data).

L5 ANSWER 22 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2004:220036 CAPLUS Full-text
DOCUMENT NUMBER: 140:247606
TITLE: Method to treat cardiac fibrosis with a combination therapy of an angiotensin II antagonist and an epoxy-steroidal aldosterone antagonist
INVENTOR(S): Egan, James J.; McMahon, Ellen G.; Olins, Gillian M.; Schuh, Joseph R.
PATENT ASSIGNEE(S): G.D. Searle & Co., USA
SOURCE: U.S. Pat. Appl. Publ., 146 pp., Cont.-in-part of U.S. Ser. No. 506,068, abandoned.
CODEN: USXXCO
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20040053903	A1	20040318	US 2003-371699	20030221
US 6984633	B2	20060110		
PRIORITY APPLN. INFO.:			US 1995-486085	B1 19950607
			US 1997-783404	B1 19970113
			US 1997-980734	B3 19971201
			US 1998-181586	B1 19981028
			US 1999-317237	B1 19990524
			US 2000-506068	B1 20000217

OTHER SOURCE(S): MARPAT 140:247606
AB A therapeutic method is described for treating cardiac fibrosis or cardiac hypertrophy using a combination therapy comprising a therapeutically-effective amount of an epoxy-steroidal aldosterone receptor antagonist and a therapeutically-effective amount of an angiotensin II receptor antagonist. Preferred angiotensin II receptor antagonists are those compds. having high potency and bioavailability and which are characterized in having an imidazole or triazole moiety attached to a biphenylmethyl or pyridinyl/phenylmethyl moiety. Preferred epoxy-steroidal aldosterone receptor antagonists are 20-spiroxane steroidal compds. characterized by the presence of a 9α, 11α-substituted epoxy moiety. A preferred combination therapy includes the angiotensin II receptor antagonist 5-2-[5-[(3,5-dibutyl-1H-1,2,4-triazol-1-yl)methyl]-2-pyridinyl]phenyl-1H- tetrazole and the aldosterone receptor antagonist epoxymexrenone.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

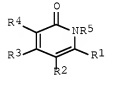
L5 ANSWER 23 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:570960 CAPLUS Full-text
DOCUMENT NUMBER: 139:133472
TITLE: Preparation of pyridones as modulators of nuclear receptors, including liver X receptor (LXR).
INVENTOR(S): Bayne, Christopher D.; Johnson, Alan T.; Lu, Shao-po; Mohan, Raju; Griffith, Ronald C.
PATENT ASSIGNEE(S): X-Ceptor Therapeutics, Inc., USA
SOURCE: PCT Int. Appl., 545 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent

LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003059884	A1	20030724	WO 2002-US41306	20021220
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2469435	A1	20030724	CA 2002-2469435	20021220
AU 2002351412	A1	20030730	AU 2002-351412	20021220
EP 1465869	A1	20041013	EP 2002-787071	20021220
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
JP 2005536450	T	20051202	JP 2003-559988	20021220

PRIORITY APPLN. INFO.: US 2001-342707P P 20011221
WO 2002-US41306 W 20021220

OTHER SOURCE(S): MARPAT 139:133472
GI



I

AB Title compds. [I; R1 = (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, aralkyl, heteroaralkyl, cycloalkyl, cycloalkenyl, cycloalkynyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl; R2 = H, (substituted) alkyl, alkenyl, alkynyl; R3 = (substituted) alkyl, alkenyl, alkynyl, alkylaminocarbonyl, CJOR30; R4 = H, (substituted) alkyl, alkenyl, alkynyl, halo, pseudohalo, CO2H, CJR30, CJNR31R32, CH2NR31R32, CH2OR31, CR30:CR31R32, NO2, NR31R32; R3R4 = atoms to form (substituted) heterocyclyl containing ≤1 oxo; R5 = (substituted) alkyl, heterocyclyl, aryl, aralkyl, heteroaralkyl, N:CR6R7, NR9R10; R6, R7 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, aralkyl, heteroaralkyl; R6R7, R9R10 = (substituted) alkylene, alkenylene, alkynylene, (CH2)xX(CH2)y; x, y = 1-3; X = O, S, NR8; R8 = (substituted) alkyl, alkenyl, alkynyl, alkylcarbonyl, arylcarbonyl, heteroarylcarbonyl; R9, R10 = H, (substituted) alkyl, alkenyl, alkynyl, (hetero)aryl, aralkyl, heteroaralkyl; R30 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, cycloalkylalkyl, heterocyclylalkyl, (hetero)aryl, aralkyl, heteroaralkyl; R31, R32 = R30, CJR35; R31R32 = atoms to form (substituted) cycloalkyl, heterocyclyl, heteroaryl; J = O, S, NR40; R35 = H, (substituted) alkyl, alkenyl, alkynyl, cycloalkyl, heterocyclyl, (hetero)aryl, alkoxy, aralkoxy, (di)alkylamino, arylalkylamino, diarylamino; R40 = H, (substituted) alkyl, (hetero)aryl], were prepared Thus, 4,4,4-

trifluoro-1-phenyl-1,3-butanedione, cyanoacetohydrazide, and diisopropylethylamine were stirred in EtOH at 80° for 3 h to give 1-amino-2-oxo-6-phenyl-4-trifluoromethyl-1,2-dihydropyridine-3- carbonitrile. The latter with cyclohexanone and trifluoroacetic acid were shaken in PhH in a sealed vial at 85° for 2 h to give 1-cyclohexylideneamino-2-oxo-6-phenyl-4-trifluoromethyl-1,2- dihydropyridine-3-carbonitrile. This showed binding affinity for LXRα and LXRβ receptors with Ki = 0.69 μM and 0.45 μM, resp.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 24 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2003:473266 CAPLUS Full-text

DOCUMENT NUMBER: 139:30862

TITLE: Use of retinoid receptor antagonists or agonists in the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): USA

SOURCE: U.S. Pat. Appl. Publ., 15 pp., Cont.-in-part of U.S. Ser. No. 464,344.
CODEN: USXXCO

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 20030114482	A1	20030619	US 2000-552823	20000420
US 6313168	B1	20011106	US 1999-464344	19991215
EP 1645271	A1	20060412	EP 2005-24409	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR				
CA 2407021	A1	20011101	CA 2001-2407021	20010419
WO 2001080894	A2	20011101	WO 2001-US12742	20010419
WO 2001080894	A3	20020725		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
EP 1274456	A2	20030115	EP 2001-928654	20010419
EP 1274456	B1	20041229		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2003531180	T	20031021	JP 2001-577990	20010419
AT 285794	T	20050115	AT 2001-928654	20010419
AU 2001255488	B2	20060727	AU 2001-255488	20010419
HK 1053053	A1	20050610	HK 2003-105084	20030714
AU 2006233216	A1	20061116	AU 2006-233216	20061027
PRIORITY APPLN. INFO.:			US 1999-464344	A2 19991215
			US 2000-552823	A 20000420
			EP 2000-986336	A3 20001213
			WO 2001-US12742	W 20010419

OTHER SOURCE(S): MARPAT 139:30862

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or

osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

L5 ANSWER 25 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:356453 CAPLUS Full-text
DOCUMENT NUMBER: 138:368922
TITLE: Bridged bicyclic 1,4-benzodiazepine vasopressin receptor antagonists
INVENTOR(S): Dyatkin, Alexey B.; Hoekstra, William J.; Maryanoff, Bruce E.
PATENT ASSIGNEE(S): Ortho-McNeil Pharmaceutical, Inc., USA
SOURCE: PCT Int. Appl., 65 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003037901	A1	20030508	WO 2002-US32789	20021011
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
CA 2465497	A1	20030508	CA 2002-2465497	20021011
AU 2002340200	A1	20030512	AU 2002-340200	20021011
US 20030119822	A1	20030626	US 2002-269656	20021011
US 6936604	B2	20050830		
EP 1442040	A1	20040804	EP 2002-778547	20021011
EP 1442040	B1	20070523		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK				
HU 2004001722	A2	20050128	HU 2004-1722	20021011
HU 2004001722	A3	20080728		
JP 2005507939	T	20050324	JP 2003-540182	20021011
CN 1608068	A	20050420	CN 2002-826168	20021011
AT 362934	T	20070615	AT 2002-778547	20021011
ES 2287326	T3	20071216	ES 2002-778547	20021011
PRIORITY APPLN. INFO.:			US 2001-341049P P	20011029
			WO 2002-US32789 W	20021011

OTHER SOURCE(S): MARPAT 138:368922
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Benzodiazepines I [R1 = H, (un)substituted alkyl, alkoxy, alkenyl, alkynyl, aryl, heteroaryl, halogen, OH; R2 = acylamino, arylamino, R6CH:CH, R6CH:CF, R6CF:CH, R6CH:CCl, R6CCl:CH, R6CH2O, R6CH2S (R6 = aryl, heteroaryl); R3 = H, (un)substituted alkyl, alkoxy, NH2, halogen, OH; R4R5 = atoms required to

complete a bicyclic ring system; Y = CH, N; Z = CH2, CO, SO2] were prepared for use as vasopressin receptor antagonists. Thus, the product II was prepared via preparation of the tetracyclic ring system, followed by acylation with 2,4-Cl(O2N)C6H3COCl, reduction, and acylation with 4-PhC6H4COCl. II had IC50 for V1a and V2 receptor binding of 24 and 4 nM, resp. and had diuretic activity in rats.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 26 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2003:129387 CAPLUS Full-text
DOCUMENT NUMBER: 138:164054
TITLE: Methods and compounds for the use of retinoic acid antagonists and inverse agonists as male anti-fertility agents
INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna, Roshantha A.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: U.S., 19 pp., Cont.-in-part of U.S. Ser. No. 405,748, abandoned.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 6521641	B1	20030218	US 2000-591253	20000609
US 20030144256	A1	20030731	US 2002-304665	20021125
US 20070054882	A1	20070308	US 2006-503635	20060814
PRIORITY APPLN. INFO.:			US 1998-103507P	P 19981008
			US 1999-405748	B2 19990927
			US 2000-591253	A1 20000609
			US 2002-304665	B1 20021125

OTHER SOURCE(S): MARPAT 138:164054
AB This continuation-in-part patent claims methods and compds. for the inhibition or prevention of spermatogenesis in a male mammal. The compds. claimed are antagonists or inverse agonists inhibiting the transcriptional activation of retinoic receptors RARα, RARβ and/or RARγ. Methods for the use of those compds. as anti-fertility agents to reduce or eliminate spermatozoa in the semen of male mammals to prevent conception are claimed.
REFERENCE COUNT: 18 THERE ARE 18 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 27 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2002:868719 CAPLUS Full-text
DOCUMENT NUMBER: 137:346211
TITLE: Methods of treating hyperlipidemia by using retinoids as antagonists or inverse agonist of a retinoid receptor
INVENTOR(S): Yuan, Yang-Dar; Thacher, Scott M.; Klein, Elliot S.; Chandraratna, Roshantha A.
PATENT ASSIGNEE(S): Allergan, Inc., USA
SOURCE: PCT Int. Appl., 56 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2002089781	A2	20021114	WO 2002-US13253	20020426
WO 2002089781	A3	20030327		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
US 20020193403	A1	20021219	US 2001-848159	20010503
CA 2445504	A1	20021114	CA 2002-2445504	20020426
AU 2002259030	A1	20021118	AU 2002-259030	20020426
EP 1392284	A2	20040303	EP 2002-729013	20020426
EP 1392284	B1	20080827		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
JP 2004532239	T	20041021	JP 2002-586918	20020426
EP 1920771	A2	20080514	EP 2007-22682	20020426
EP 1920771	A3	20080723		
R: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE, TR				
AT 406159	T	20080915	AT 2002-729013	20020426
US 20050171151	A1	20050804	US 2004-16534	20041217
US 20080214652	A1	20080904	US 2008-72629	20080227
PRIORITY APPLN. INFO.:			US 2001-848159 A	20010503
			EP 2002-729013 A3	20020426
			WO 2002-US13253 W	20020426
			US 2004-16534 B1	20041217

OTHER SOURCE(S): MARPAT 137:346211

AB The current invention relates to methods for treating hyperlipidemia in mammals, including humans. More specifically, the current invention relates to the use of retinoid or retinoid derivative that is able to act as an antagonist or inverse agonist of a retinoid receptor to treat hyperlipidemia.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 28 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:798081 CAPLUS Full-text

DOCUMENT NUMBER: 135:339297

TITLE: Use of retinoid receptor antagonists or agonists in the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: PCT Int. Appl., 41 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001080894	A2	20011101	WO 2001-US12742	20010419
WO 2001080894	A3	20020725		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 20030114482	A1	20030619	US 2000-552823		20000420
CA 2407021	A1	20011101	CA 2001-2407021		20010419
EP 1274456	A2	20030115	EP 2001-928654		20010419
EP 1274456	B1	20041229			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR

JP 2003531180	T	20031021	JP 2001-577990		20010419
AT 285794	T	20050115	AT 2001-928654		20010419
AU 2001255488	B2	20060727	AU 2001-255488		20010419
HK 1053053	A1	20050610	HK 2003-105084		20030714
AU 2006233216	A1	20061116	AU 2006-233216		20061027
PRIORITY APPLN. INFO.:			US 2000-552823	A	20000420
			US 1999-464344	A2	19991215
			WO 2001-US12742	W	20010419

OTHER SOURCE(S): MARPAT 135:339297

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis or osteoporosis, comprising administering therapeutically effective amts. of retinoid receptor antagonists or retinoid receptor agonists.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 29 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:452848 CAPLUS Full-text

DOCUMENT NUMBER: 135:41045

TITLE: Use of retinoid receptor antagonists in the treatment of cartilage and bone pathologies

INVENTOR(S): Pacifici, Maurizio; Chandraratna, Roshantha A.

PATENT ASSIGNEE(S): Allergan Sales, Inc., USA

SOURCE: PCT Int. Appl., 53 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 3

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001043732	A2	20010621	WO 2000-US33697	20001213
WO 2001043732	A3	20020321		

W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW

RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

US 6313168	B1	20011106	US 1999-464344	19991215
CA 2394210	A1	20010621	CA 2000-2394210	20001213

EP 1248602		A2	20021016	EP 2000-986336	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
JP 2003519103		T	20030617	JP 2001-544671	20001213
AU 784189		B2	20060216	AU 2001-22593	20001213
EP 1645271		A1	20060412	EP 2005-24409	20001213
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI, CY, TR					
PRIORITY APPLN. INFO.:				US 1999-464344	A 19991215
				EP 2000-986336	A3 20001213
				WO 2000-US33697	W 20001213

OTHER SOURCE(S): MARPAT 135:41045

AB The present invention relates to methods for treating cartilage and bone pathologies, including bone growth related diseases such as osteoarthritis, comprising administering therapeutically effective amts. of retinoid receptor antagonists. AG1-X2 ion exchange beads were soaked for 1 h in a solution of 4-[[5,6-dihydro-5,5-dimethyl-8-(4-methylphenyl)-2- naphthalenyl]ethynyl]-benzoic acid (AGN 109) and implanted in the vicinity of the prospective humeral mesenchymal condensation in stage 21-22 chick embryos and determined whether humerus development had been impaired by day 10 in vivo. AGN 109-containing beads showed striking effects on humerus development.

REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 30 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:396864 CAPLUS Full-text

DOCUMENT NUMBER: 135:19632

TITLE: Preparation of pyrazolyl- and pyrrolylalkanoic acid derivatives with hypoglycemic and hypolipidemic activity

INVENTOR(S): Momose, Yu; Maekawa, Tsuyoshi; Odaka, Hiroyuki; Kimura, Hiroyuki

PATENT ASSIGNEE(S): Takeda Chemical Industries, Ltd., Japan

SOURCE: PCT Int. Appl., 375 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001038325	A1	20010531	WO 2000-JP7877	20001109
W: AE, AG, AL, AM, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CN, CR, CU, CZ, DM, DZ, EE, GD, GE, HR, HU, ID, IL, IN, IS, JP, KG, KR, KZ, LC, LK, LR, LT, LV, MA, MD, MG, MK, MN, MX, MZ, NO, NZ, PL, RO, RU, SG, SI, SK, TJ, TM, TR, TT, UA, US, UZ, VN, YU, ZA				
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CA 2390923	A1	20010531	CA 2000-2390923	20001109
JP 2001226350	A	20010821	JP 2000-347462	20001109
JP 3723071	B2	20051207		
BR 2000015466	A	20020806	BR 2000-15466	20001109
EP 1228067	A1	20020807	EP 2000-974857	20001109
EP 1228067	B1	20040714		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
HU 2002003165	A2	20030128	HU 2002-3165	20001109
HU 2002003165	A3	20040329		

JP 2003137865	A	20030514	JP 2002-315096		20001109
NZ 519238	A	20031128	NZ 2000-519238		20001109
AT 271049	T	20040715	AT 2000-974857		20001109
EP 1457490	A1	20040915	EP 2004-76508		20001109
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR					
PT 1228067	T	20041130	PT 2000-974857		20001109
ES 2225252	T3	20050316	ES 2000-974857		20001109
AU 780948	B2	20050428	AU 2001-13031		20001109
RU 2252939	C2	20050527	RU 2002-115263		20001109
CN 1260227	C	20060621	CN 2000-817467		20001109
NO 2002002108	A	20020708	NO 2002-2108		20020502
MX 2002004647	A	20021031	MX 2002-4647		20020509
US 7179823	B1	20070220	US 2002-129702		20020509
IN 2002KN00645	A	20050311	IN 2002-KN645		20020513
ZA 2002003824	A	20031015	ZA 2002-3824		20020514
HK 1045991	A1	20041210	HK 2002-106297		20020827
PRIORITY APPLN. INFO.:			JP 1999-320317	A	19991110
			JP 1999-352237	A	19991210
			JP 1999-352236	A	19991210
			EP 2000-974857	A3	20001109
			JP 2000-347462	A3	20001109
			WO 2000-JP7877	W	20001109

OTHER SOURCE(S): MARPAT 135:19632

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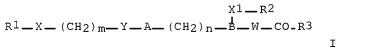
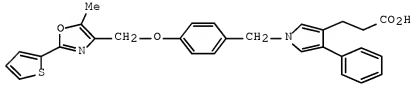


I



II

AB Title compds. (I) [wherein R1 = (un)substituted hydrocarbon or heterocycle; X = bond, O, S, CO, CS, CR4(OR5), or NR6; R4 and R6 = independently H or (un)substituted hydrocarbon; R5 = H or hydroxyl protective group; m = 0-3; Y = O, S, SO, SO2, NR7, CONR7, or NR7CO; R7 = H or (un)substituted hydrocarbon; A = (un)substituted aromatic ring; n = 1-8; B = (un)substituted N-containing 5-membered heterocycle; X1 = bond, O, S, SO, SO2, OSO2, or NR16; R16 = H or (un)substituted hydrocarbon; R2 = H or (un)substituted hydrocarbon or heterocycle; W = bond or hydrocarbon; R3 = OR8 or NR9R10; R8 = H or (un)substituted hydrocarbon; R9 and R10 = independently H or (un)substituted hydrocarbon or heterocycle; or R9 and R10 together with the N to which they are attached may form a ring] were prepared as retinoid-related receptor function regulating agents or insulin resistance improving agents. For example, Et 3-[1-(4-hydroxybenzyl)-4-phenyl-3-pyrrolyl]propionate and 4-chloromethyl-5-methyl-2-(2-thienyl)oxazole were coupled in the presence of K2CO3 in DMF and treated with HCl to give II (77%). At a concentration of

0.001%, II reduced hypoglycemic and hypolipidemic action by 48% and 70%, resp., lowered total cholesterol by 16%, and increased the plasma anti-arteriosclerosis index by 12% compared to non-treatment groups of mice. In addition, II showed potent PPARγ-RXRα heterodimer ligand activity with EC50 of 1.5 nM. I are useful for the prevention or treatment of diabetes mellitus, hyperlipidemia, impaired glucose tolerance, inflammatory diseases, and arteriosclerosis.

REFERENCE COUNT: 2 THERE ARE 2 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 31 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 2001:247339 CAPLUS Full-text

DOCUMENT NUMBER: 134:261280

TITLE: Azepinoindolone derivatives as poly(ADP-ribose) polymerase inhibitors

INVENTOR(S): Lubisch, Wilfried; Kock, Michael; Hoeger, Thomas; Grandel, Roland; Mueller, Reinhold; Schult, Sabine

PATENT ASSIGNEE(S): Basf Aktiengesellschaft, Germany

SOURCE: PCT Int. Appl., 21 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: German

FAMILY ACC. NUM. COUNT: 2

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001023390	A2	20010405	WO 2000-EP9024	20000915
WO 2001023390	A3	20011227		
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CR, CU, CZ, DE, DK, DM, DZ, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZW				
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DE 19946289	A1	20010329	DE 1999-19946289	19990928
DE 10039610	A1	20020228	DE 2000-10039610	20000809
CA 2352194	A1	20010405	CA 2000-2352194	20000915
BR 2000007174	A	20010904	BR 2000-7174	20000915
EP 1183259	A2	20020306	EP 2000-974379	20000915
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO				
HU 2001004917	A2	20020429	HU 2001-4917	20000915
HU 2001004917	A3	20021228		
JP 2003510328	T	20030318	JP 2001-526542	20000915
MX 2001005199	A	20020311	MX 2001-5199	20010524
NO 2001002567	A	20010625	NO 2001-2567	20010525
IN 2001CN00726	A	20050304	IN 2001-CN726	20010525
BG 105650	A	20020228	BG 2001-105650	20010626

PRIORITY APPLN. INFO.:
DE 1999-19946289 A 19990928
DE 2000-10039610 A 20000809
WO 2000-EP9024 W 20000915

OTHER SOURCE(S): MARPAT 134:261280

AB Enantiomeric and diastereomeric forms and prodrugs of azepinoindolone derivs. such as 2-(4-(4-n-propylpiperazin-1-yl)phenyl)-1,3,4,5-tetrahydro- 6H-azepino[5,4,3-c,d]indol-6-one are useful as poly(ADP-ribose) polymerase

inhibitors. The effectiveness of the title compds. in inhibiting poly(ADP-ribose) polymerase was demonstrated.
REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 32 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2001:78220 CAPLUS Full-text
DOCUMENT NUMBER: 134:125939
TITLE: The use of retinoid receptor antagonists in the treatment of prostate carcinoma
INVENTOR(S): Chandraratna, Roshantha A.; Brown, Geoffrey
PATENT ASSIGNEE(S): Allergan Sales, Inc., USA
SOURCE: PCT Int. Appl., 64 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2001007028	A2	20010201	WO 2000-US19849	20000721
WO 2001007028	A3	20010830		

W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CR, CU, CZ, DE, DK, DM, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, UZ, VN, YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 1999-145287P P 19990723
OTHER SOURCE(S): MARPAT 134:125939
AB Methods for treating prostate cancer comprise administering a therapeutically effective amount of a retinoid receptor antagonist. In addition, the invention provides methods of inhibiting the growth of a prostate carcinoma cell or tumor, the method comprising contacting the cell or tumor with an effective amount of a retinoid receptor antagonist.
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 33 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 2000:240931 CAPLUS Full-text
DOCUMENT NUMBER: 132:274821
TITLE: Male antifertility agents
INVENTOR(S): Klein, Elliott S.; Yuan, Yang-Dar; Chandraratna, Roshantha A.
PATENT ASSIGNEE(S): Allergan Sales, Inc., USA
SOURCE: PCT Int. Appl., 73 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 2
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2000019990	A2	20000413	WO 1999-US22222	19990924
WO 2000019990	A3	20000720		

W: AU, CA, JP
RW: AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE

CA 2346687	A1	20000413	CA 1999-2346687		19990924
AU 9961623	A	20000426	AU 1999-61623		19990924
AU 757448	B2	20030220			
EP 1119350	A2	20010801	EP 1999-948451		19990924
EP 1119350	B1	20050223			

R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI

JP 2002526405	T	20020820	JP 2000-573351		19990924
AT 289507	T	20050315	AT 1999-948451		19990924
PRIORITY APPLN. INFO.:			US 1998-103507P	P	19981008
			WO 1999-US22222	W	19990924

OTHER SOURCE(S): MARPAT 132:274821
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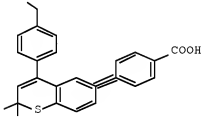

I

AB Methods and compns. for inhibiting or preventing spermatogenesis in a male mammal are disclosed. AGN 194310 (I) was prepared and orally administered to rats and was not toxic and expts. showed that daily oral delivery of this RAR antagonist was sufficient to cause spermatogenic arrest.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 34 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1999:426849 CAPLUS Full-text
DOCUMENT NUMBER: 131:73436
TITLE: Preparation of 4-[(3-phenoxyphenyl)ethynyl]benzoates and analogs as retinoic acid receptor ligands
INVENTOR(S): Song, Tae K.; Teng, Min; Chandraratna, Roshantha A.
PATENT ASSIGNEE(S): Allergan Sales, Inc., USA
SOURCE: U.S., 30 pp.
CODEN: USXXAM
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 5919970	A	19990706	US 1997-840040	19970424
US 6187950	B1	20010213	US 1999-267992	19990312

US 6455701	B1	20020924	US 2000-708972		20001108
US 20030109687	A1	20030612	US 2002-212386		20020805
US 6660755	B2	20031209			
PRIORITY APPLN. INFO.:			US 1997-840040	A3	19970424
			US 1999-267992	A3	19990312
			US 2000-708972	A3	20001108

OTHER SOURCE(S): MARPAT 131:73436

AB Y3XY1ZY2AB [I; A = bond, alkenylene, alkynylene, etc.; B = H, CO2H, alkoxycarbonyl, CH2OH, etc.; X = CH2,O,NH, SO0-2, etc.; Z = C.tplbond.C, N:N, N:CH, CONH, etc.; Y1 = (addnl. substituted) phenylene, heteroarylene, etc. having alkyl, 1-adamantyl, alkoxy, etc. as substituent; Y2 = (un)substituted (hetero)arylene; Y3 = (un)substituted (hetero)aryl] were prepared Thus, 3-BrC6H4OH was alkylated by Me3CHOH and the product etherified by 4-IC6H4CF3 to give, in 2 addnl. steps, 4-(F3C)C6H4OY1C.tplbond.CR (Y1 = 2-tert-butyl-1,5-phenylene)(II; R = H) which was arylated by 4-IC6H4(CO2Et)-4 (preparation given) to give II [R = C6H4(CO2Et)-4]. Data for biol. activity of I were given.

REFERENCE COUNT: 169 THERE ARE 169 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 35 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:361630 CAPLUS Full-text

DOCUMENT NUMBER: 126:330623

ORIGINAL REFERENCE NO.: 126:64259a,64262a

TITLE: Preparation of 4-anilinopyrido[3,4-d]pyrimidines and analogs as protein tyrosine kinase inhibitors

INVENTOR(S): Cockerill, George Stuart; Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane; Vile, Sadie; Hudson, Alan Thomas; Barraclough, Paul; Franzmann, Karl Witold; et al.

PATENT ASSIGNEE(S): Glaxo Group Limited, UK; Cockerill, George Stuart; Guntrip, Stephen Barry; Mckeown, Stephen Carl; Page, Martin John; Smith, Kathryn Jane

SOURCE: PCT Int. Appl., 55 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9713771	A1	19970417	WO 1996-EP4399	19961010
W: AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GE, HU, IL, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, TJ, TM, TR, TT, UA, UG, US, UZ, VN				
RW: KE, LS, MW, SD, SZ, UG, AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG				
AU 9672896	A	19970430	AU 1996-72896	19961010
ZA 9608551	A	19970718	ZA 1996-8551	19961010
EP 861253	A1	19980902	EP 1996-934612	19961010
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, FI				
JP 11513398	T	19991116	JP 1996-514711	19961010
IN 1996DE02215	A	20050311	IN 1996-DE2215	19961010
US 6169091	B1	20010102	US 1998-51324	19980826
PRIORITY APPLN. INFO.:			GB 1995-20845 A	19951011
			GB 1996-14757 A	19960713

OTHER SOURCE(S): MARPAT 126:330623

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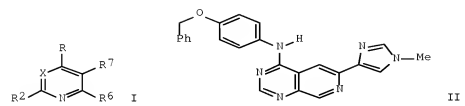

I II

AB Title compds. [I; R = YZ1ZR4; R2 = H, halo, CF3, alkyl, alkoxy; R4 = cycloalkyl, Ph, thienyl, pyridyl, etc.; R6R7 = atoms to complete a (heteroaryl-substituted) heterocyclic ring; X = N or CH; Y = O, OCH2, SO0-2, (alkyl)imino, etc.; Z = O, CH2, NRb, OCH2, etc.; Rb = H or alkyl; NRbR4 = heterocyclyl; Z1 = (un)substituted phenylene] were prepared Thus, 4,6-dichloropyrido[3,4-d]pyrimidine was aminated by 4-(PhCH2O)C6H4NH2 and th product condensed with 5-tributylstannyl-N-methylimidazole to give title compound II. Data for biol. activity of I were given.

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 36 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1997:205247 CAPLUS Full-text

DOCUMENT NUMBER: 126:205763

ORIGINAL REFERENCE NO.: 126:39656h,39657a,39658a

TITLE: Preparation of organosilicon compounds, and liquid-crystal composition and liquid-crystal display element

INVENTOR(S): Kondo, Tomoyuki; Matsui, Shuichi; Hachiya, Norihisa; Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan

SOURCE: PCT Int. Appl., 116 pp.
CODEN: PIXXD2

DOCUMENT TYPE: Patent

LANGUAGE: Japanese

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9705144	A1	19970213	WO 1996-JP2103	19960726
W: CN, JP, KR, US				
RW: AT, BE, CH, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
CN 1195352	A	19981007	CN 1996-196782	19960726
EP 872484	A1	19981021	EP 1996-925097	19960726
EP 872484	B1	20021002		
R: AT, BE, CH, DE, DK, ES, FR, GB, IT, LI, NL				
AT 225353	T	20021015	AT 1996-925097	19960726
JP 3751640	B2	20060301	JP 1997-507462	19960726
US 5993690	A	19991130	US 1998-409	19980126

PRIORITY APPLN. INFO.: JP 1995-211211 A 19950727
WO 1996-JP2103 W 19960726

OTHER SOURCE(S): MARPAT 126:205763

AB Organosilicon compds. represented by the general formula Ra-A-(Z1-A1)m-(Z2-A2)n-(Z3-A3)o-Rb [I; at least one of Ra, Rb, Z1, Z2 and Z3 has an SiH2 group; Ra = H or C1-2 alkyl wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH, C.tplbond.C, or 1,4-cyclobutylene; Rb = a group of any of the Ra groups, halo or cyano; A, A1, A2 and A3 represent each a bivalent ring group; Z1, Z2 and Z3 represent each independently a covalent bond or (CH2)p wherein at least one CH2 group may be substituted by SiH2, O, S, CO, CH:CH or C.tplbond.C; p represents an integer of 1 to 4; m, n and o represent each independently 0 or 1], which are excellent in the compatibility with other liquid-crystal materials, reduced in viscosity, and improved in threshold voltage, are prepared A liquid crystal composition containing at least one silicon compound I and a liquid crystal display device using said liquid crystal composition are claimed. Thus, 10.0 g 4-bromo-4'-butoxybiphenyl was treated dropwise with BuLi in Et2O at -50°, stirred at -50° for 30 min, warmed to room temperature, stirred for 3 h, and resulting reaction mixture was added dropwise to a solution of 11.6 g propyltrichlorosilane in 10 mL THF at -50°, and stirred at -50° for 30 min and at room temperature for 48 h to give 4.6 g 4-propyldichlorosilyl-4'-butoxybiphenyl. The latter compound (3.0 g) was dissolved in Et2O and reduced by LiAlH4 at room temperature for 10 h to give 7.8% 4-propylsilyl-4'-butoxybiphenyl.

REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L5 ANSWER 37 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN

ACCESSION NUMBER: 1996:724140 CAPLUS Full-text

DOCUMENT NUMBER: 125:343103

ORIGINAL REFERENCE NO.: 125:63853a,63856a

TITLE: Optically active liquid crystal compound containing deuterium atoms for display device

INVENTOR(S): Koizumi, Yasuyuki; Demus, Dietrich; Matsui, Shuichi; Miyazawa, Kazutoshi; Sekiguchi, Yasuko; Nakagawa, Etsuo

PATENT ASSIGNEE(S): Chisso Corp., Japan

SOURCE: Eur. Pat. Appl., 88 pp.
CODEN: EPXXDW

DOCUMENT TYPE: Patent

LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
EP 735015	A2	19961002	EP 1996-300655	19960130
EP 735015	A3	19970611		
R: CH, DE, FR, GB, IT, LI				
JP 08325174	A	19961210	JP 1995-347773	19951214
PRIORITY APPLN. INFO.:			JP 1995-100105 A	19950331

OTHER SOURCE(S): MARPAT 125:343103

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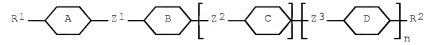

I

AB The title compound is represented by the formula I (R1, R2 = H, cyano, halogen, or alkyl or halogenated alkyl with 1-20 C atoms with the proviso that ≥1 methylene group in the alkyl group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; Z1-3 = a covalent bond or an alkylene group with 1-4 C atoms with the proviso that ≥1 methylene group in the alkylene group may be substituted by O, S, CH=CH, C.tplbond.C, CO, CF=CF, CF2, or a cycloalkane or cycloalkene ring with 3-5 C atoms; m, n = 0 or 1; rings A, B, C, D = a benzene, bicyclo[1.1.1]pentane, bicyclo[2.1.1]hexane, bicyclo[2.2.1]heptane, bicyclo[2.2.2]octane, naphthalene, 1,2,3,4-tetrahydronaphthalene, perhydronaphthalene, fluorene, phenanthrene, 9,10-dihydrophenanthrene, indane, indene, cycloalkane, or cycloalkene ring which may be substituted by O, S, or N atoms) with optically active C atoms bonded to D atoms. With the use of the title compound, it is possible to prepare a liquid crystal composition with controlled pitch and spiral direction without the use of a chiral dopant.

L5 ANSWER 38 OF 38 CAPLUS COPYRIGHT 2009 ACS on STN
ACCESSION NUMBER: 1996:609921 CAPLUS Full-text
DOCUMENT NUMBER: 125:261498
ORIGINAL REFERENCE NO.: 125:48571a,48574a
TITLE: Electro-optic liquid crystal display with reorientation layer
INVENTOR(S): Pausch, Axel; Poetsch, Eike; Tarumi, Kazuaki; Huth, Anja; Waechtler, Andreas; Beyer, Andreas; Schuler, Brigitte; Reiffenrath, Volker; Bremer, Matthias; Kompter, Michael
PATENT ASSIGNEE(S): Merck Patent Gmbh, Germany
SOURCE: PCT Int. Appl., 58 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: German
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9623851	A1	19960808	WO 1996-EP239	19960122
W: CN, JP, KR, RU, US				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
DE 19528106	A1	19960808	DE 1995-19528106	19950801
DE 19528107	A1	19960919	DE 1995-19528107	19950801
DE 19528104	A1	19970206	DE 1995-19528104	19950801
DE 19528104	B4	20080515		
DE 19537802	A1	19970417	DE 1995-19537802	19951011
EP 807153	A1	19971119	EP 1996-901748	19960122
EP 807153	B1	20010328		
R: DE, GB, NL				
CN 1172496	A	19980204	CN 1996-191743	19960122
CN 1125158	C	20031022		
JP 10512914	T	19981208	JP 1996-523208	19960122
EP 995787	A2	20000426	EP 1999-124394	19960122
R: DE, GB, NL				
EP 768359	A1	19970416	EP 1996-116026	19961007
EP 768359	B1	20010502		
R: DE, GB				
US 6342279	B1	20020129	US 1996-728370	19961010
JP 09125063	A	19970513	JP 1996-287312	19961011
US 5993691	A	19991130	US 1997-875745	19970804
US 6146720	A	20001114	US 1999-412566	19991005

	JP 2006283031	A	20061019	JP 2006-129630		20060508
	JP 2006299273	A	20061102	JP 2006-129625		20060508
PRIORITY APPLN. INFO.:				DE 1995-19503507	A	19950203
				DE 1995-19509791	A	19950317
				DE 1995-19528104	A	19950801
				DE 1995-19528106	A	19950801
				DE 1995-19528107	A	19950801
				DE 1995-19537802	A	19951011
				EP 1996-901748	A3	19960122
				JP 1996-523208	A3	19960122
				WO 1996-EP239	W	19960122

OTHER SOURCE(S): MARPAT 125:261498

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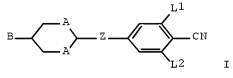

I

AB An electro-optic liquid crystal display has reorientation layer for reorienting the liquid crystals whose field has a significant component parallel to the liquid crystal layer. The reorientation layer contains a liquid-crystal medium with pos. dielec. anisotropy that contains at least one mesogenic compound with a 3,4,5-trifluorophenyl group and/or at least one mesogenic compound with a structural element having the formula I (A = O, CH; B = connection site; Z = -COO-, single bond; L1 = F, H when A is O; L2 = H, F). The liquid crystal composition is also claimed with Markush structures.

REFERENCE COUNT: 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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